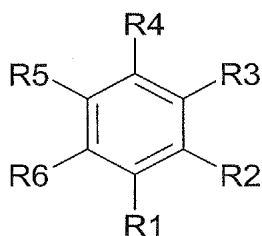


Amendments to the Claims

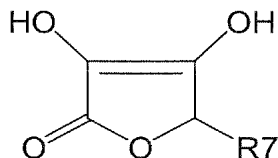
This listing of claims will replace all prior versions, and listings, of claims in the application:

Listing of Claims:

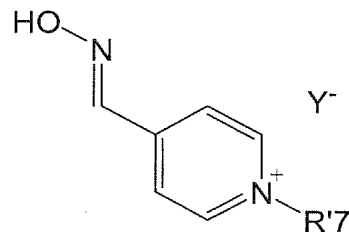
1. (Previously Presented) A method for treatment of a disease or disorder caused by or associated with heparanase catalytic activity, said method comprising administering to a patient in need an effective amount of a heparanase inhibitor of the general formula I, II III or IV:



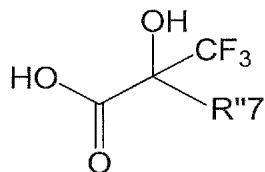
I



II



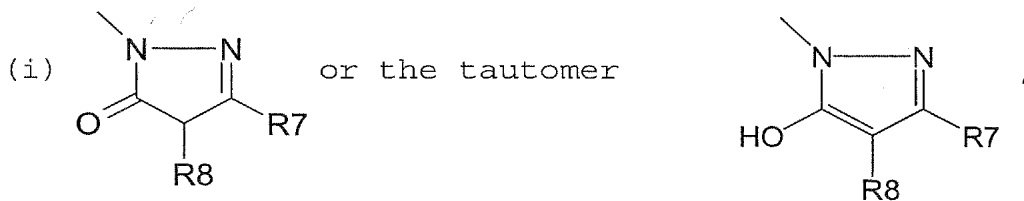
III



IV

wherein

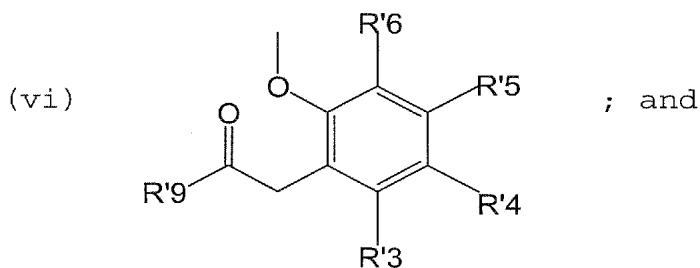
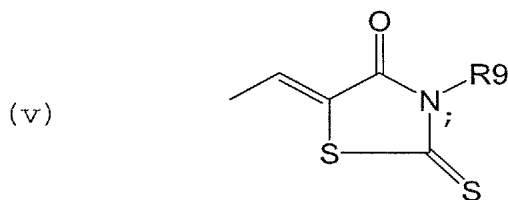
R1 is selected from the group consisting of:



(ii)  $-N(R_9)-CO(R_{10})$  ;

(iii)  $-CO-N(R_9)(R_{10})$  ;

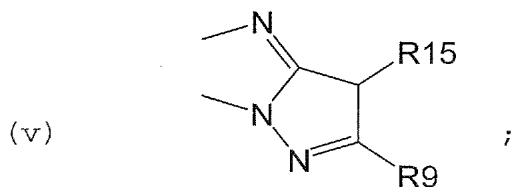
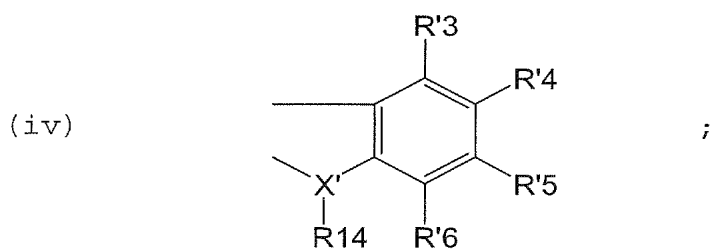
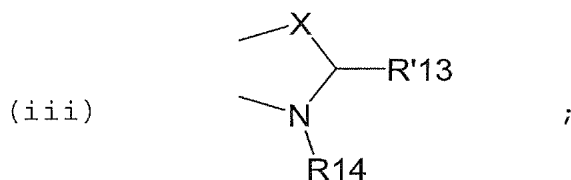
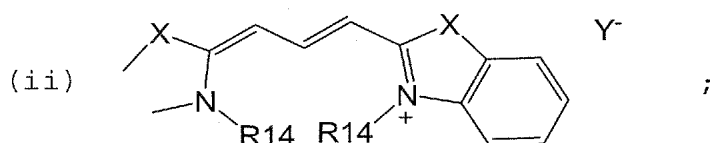
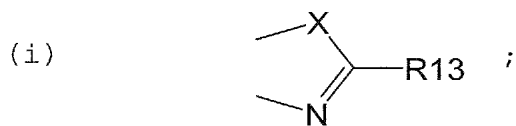
(iv)  $-SO_2R_{11}$  ;

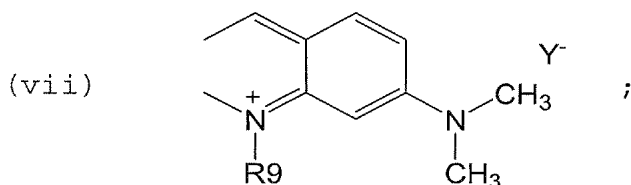
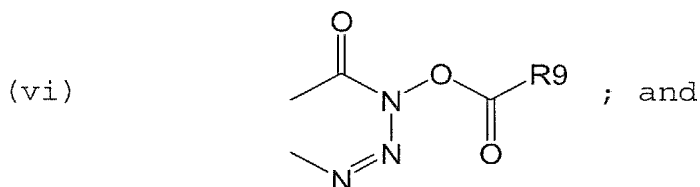


(vii)  $-CH(OH)-CH(NH-CO-R'7)-CH_2NR_9R'9$

R2, R3, R4, R5, R6, R'3, R'4, R'5 and R'6 each independently represents hydrogen, halogen, nitro, (C1-C32) alkyl, (C2-C32) alkenyl, (C6-C14) aryl, heteroaryl,  $-OR_9'$ ,  $-SR_9'$ ,  $-NR_9R'9$ ,  $-(CH_2)_n-NR_9-COR'9$ ,  $-COR'9$ ,  $-COOR'9$ ,  $-(CH_2)_n-CO-N(R_9)(R'9)$ ;  $-SO_3R'9$ ,  $-SO_2R'9$ , or  $-NHSO_2R'9$ ;

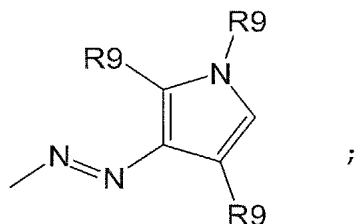
or R1 and R2 together are a moiety selected from the group consisting of:





wherein X is O, S, N(R12) or C(R'12, R''12) and X' is O or N;  
or each pair of R2+R3, R3+R4, R4+R5 or R5+R6, together with  
the carbon atoms to which they are attached, form a 5- or 6-  
membered aromatic ring;

R7 is selected from the group consisting of H, halogen, (C1-  
C32) alkyl, (C2-C32) alkenyl, (C6-C14) aryl, heteroaryl, -  
OR'9, -SR'9, -NR9R'9, -NR9-COR'9, -COR'9, -COOR'9, -CH(OH)-  
(CH2)<sub>n</sub>-O-CO-R9, -(CH2)<sub>n</sub>-NR9-COR'9, -(CH2)<sub>n</sub>-CO-  
N(R9)(R'9), -SO<sub>3</sub>R'9, -SO<sub>2</sub>R'9, -NHSO<sub>2</sub>R'9, -N=N-(C6-C14) aryl,  
and



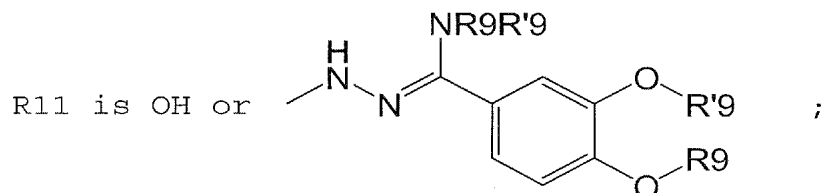
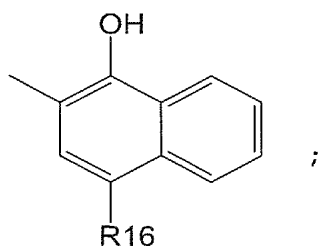
R'7 is (C1-C32) alkyl;

R''7 is (C2-C32) alkenyl;

R8 is as defined for R7;

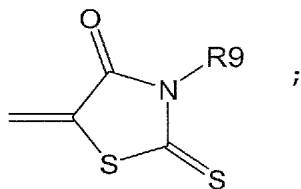
R9 is H or (C1-C32) alkyl and R'9 is H, (C1-C32) alkyl, (C2-C32) alkenyl or (C6-C14) aryl, or R9 and R'9 as part of the radical -NR9R'9 form together with the N atom to which they are attached a 3-7 membered saturated ring, optionally further containing one or more N, S or O atoms;

R10 is selected from the group consisting of (C1-C32) alkyl, (C2-C32) alkenyl,  $-(CH_2)_n-CO-R17$ ,  $-(CH_2)_n-NH-CO-R9-O-R'9$ , and



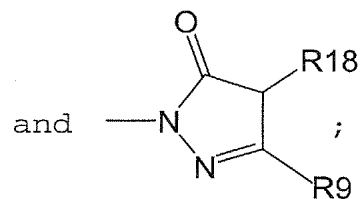
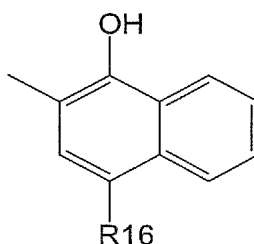
R12, R'12 and R''12 each is H or (C1-C32) alkyl, or R'12 and R''12

together are a radical



R13 is selected from the group consisting of (C1-C32) alkyl, (C6-C14)

aryl, -N=CH-(C6-C14) aryl,



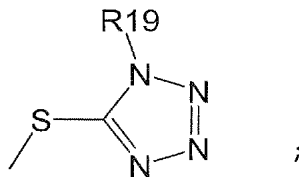
R'13 is =O, =NH or =N-NH-SO<sub>2</sub>R'9;

R14 is H, (C1-C32) alkyl, -(CH<sub>2</sub>)<sub>m</sub>-CH(OH)-CH<sub>2</sub>-NR<sub>9</sub>R'9 or -(CH<sub>2</sub>)<sub>m</sub>-CH(OH)-(C6-C14) aryl;

R15 is H or -SO<sub>3</sub>H;

R16 is selected from the group consisting of H, halogen, -COOH, -SO<sub>3</sub>H,

-N=N-(C6-C14) aryl, and



R17 is selected from the group consisting of (C1-C32) alkyl, (C6-C14) aryl, -NH-NH-CO-(C1-C32) alkyl, -NH-NH-CO-(C6-C14) aryl, -(CH<sub>2</sub>)<sub>n</sub>-NH-CO-C(R9)-O(C1-C32) alkyl, -(CH<sub>2</sub>)<sub>n</sub>-NH-CO-C(R9)-

O(C6-C14) aryl,  $-(CH_2)_n-CO-(C1-C32)$  alkyl, and  $-(CH_2)_n-CO-(C6-C14)$  aryl;

R18 is H or  $=N-(C6-C14)$  aryl;

R19 is (C6-C14) aryl;

$Y^-$  is a counter ion selected from the group consisting of chloride, bromide, iodide, perchlorate, tosylate, mesylate, sulfate, phosphate and an organic anion;

n is 0 or an integer from 1 to 10; m is an integer from 1 to 10; and

any "(C1-C32) alkyl" or "(C2-C32) alkenyl" may be straight or branched and may be interrupted by one or more heteroatoms selected from O, S and/or N, and/or substituted by one or more radicals selected from the group consisting of halogen, (C3-C7) cycloalkyl, (C6-C14) aryl, nitro, OR'9, SR'9, epoxy, epithio, oxo, -COR'9, -COOR'9, -OSO<sub>3</sub>R'9, -SO<sub>3</sub>R'9, -SO<sub>2</sub>R'9, -NHSO<sub>2</sub>R'9, -NR<sub>9</sub>R'9, aziridine, =N-OR'9, =N-NR<sub>9</sub>R'9, -NR<sub>9</sub>-NR<sub>9</sub>R'9,  $-(CH_2)_n-NR_9-COR'9$ ,  $-(CH_2)_n-CO-NR_9R'9$ , -OPO<sub>3</sub>R<sub>9</sub>R'9, -PO<sub>2</sub>HR'9 and -PO<sub>3</sub>R<sub>9</sub>R'9;

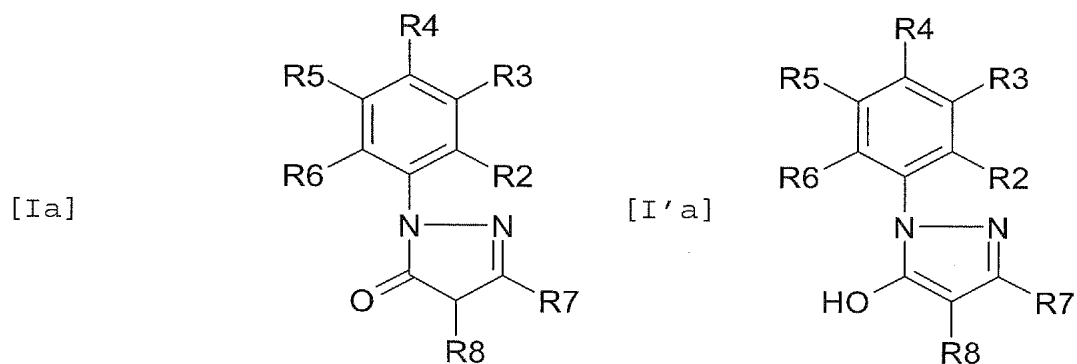
"heteroaryl" means a radical derived from a mono- or polycyclic heteroaromatic ring containing 1 to 3 heteroatoms selected from the group consisting of O, S and N; and

any "aryl" or "heteroaryl" may be substituted by one or more radicals selected from the group consisting of halogen, (C6-C14) aryl, (C1-C32)alkyl, nitro, -OR'9, -SR'9, -COR'9,

COOR'9, -OSO<sub>3</sub>R'9, -SO<sub>3</sub>R'9, -SO<sub>2</sub>R'9, -NHSO<sub>2</sub>R'9, -NR<sub>9</sub>R'9, -(CH<sub>2</sub>)<sub>n</sub>-  
NR<sub>9</sub>-COR'9, and -(CH<sub>2</sub>)<sub>n</sub>-CO-NR<sub>9</sub>R'9;

or a pharmaceutically acceptable salt thereof.

2. (Withdrawn) The method according to claim 1,  
comprising administering a compound of the formula Ia or I'a:



wherein

R2 is H, halogen, -NH<sub>2</sub> or -SO<sub>3</sub>H;

R3 is H or -SO<sub>3</sub>H;

R4 is H, halogen, -SO<sub>3</sub>H, -SO<sub>2</sub>-(C<sub>10</sub>-C<sub>22</sub>) alkyl or -O(C<sub>6</sub>-C<sub>14</sub>)  
aryl, wherein the aryl is unsubstituted or substituted by -  
O(C<sub>1</sub>-C<sub>8</sub>) alkyl;

R5 is H; R6 is H or halogen;

R7 is selected from the group consisting of:

(i) H;

(ii) (C<sub>10</sub>-C<sub>22</sub>) alkyl;



(iii) -COOH;

(iv) -NR<sub>9</sub>-COR'<sub>9</sub>, wherein R<sub>9</sub> is H and R'<sub>9</sub> is (C10-C22) alkyl optionally substituted by epoxy, (C10-C22) alkenyl optionally substituted by -COOH, or (C6-C14) aryl optionally substituted by -SO<sub>3</sub>H or -NH-CO-(C10-C22) alkyl; and

(v) (C6-C14) aryl optionally substituted by -SO<sub>3</sub>H or by -NR<sub>9</sub>-COR'<sub>9</sub>, wherein R<sub>9</sub> is H and R'<sub>9</sub> is (C10-C22) alkyl;

R<sub>8</sub> is selected from the group consisting of:

(i) H;

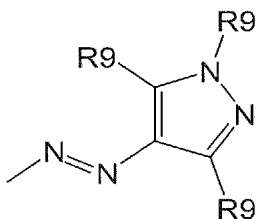
(ii) halogen;

(iii) (C2-C6) alkyl;

(iv) -O(C10-C22) alkyl;

(v) (C6-C14) aryl optionally substituted by one or more halogen, -OR'<sub>9</sub>, -COOR'<sub>9</sub>, -SO<sub>3</sub>R'<sub>9</sub>, -NR<sub>9</sub>R'<sub>9</sub> or -NR<sub>9</sub>COR'<sub>9</sub>, wherein R<sub>9</sub> and R'<sub>9</sub> each independently is H or (C10-C22) alkyl;

(vi)



wherein R<sub>9</sub> each independently is H or (C1-C12) alkyl; and

(vii) -N=N-(C6-C14) aryl optionally substituted by one or more halogen, -OR'9, -COOR'9, -SO<sub>3</sub>R'9, -NHSO<sub>2</sub>R'9, -NR<sub>9</sub>R'9, or -NR<sub>9</sub>-CO-R'9, wherein R<sub>9</sub> and R'9 each independently is H or (C1-C6) alkyl, or R'9 is (C6-C14) aryl substituted by methyl;

wherein any "(C10-C22) alkyl" as defined in R<sub>4</sub>, R<sub>7</sub> and R<sub>8</sub> may be straight or branched and may be interrupted by one or more heteroatoms selected from the group consisting of O, S and N, and/or may be substituted by one or more radicals selected from the group consisting of halogen, (C3-C7) cycloalkyl preferably cyclopropyl, (C6-C14) aryl, nitro, -OR'9, -SR'9, epoxy, epithio, oxo, -COR'9, COOR'9, -OSO<sub>3</sub>R'9, -SO<sub>3</sub>R'9, -SO<sub>2</sub>R'9, -NHSO<sub>2</sub>R'9, -NR<sub>9</sub>R'9, aziridine, =N-OR'9, =N-NR<sub>9</sub>R'9, -NR<sub>9</sub>-NR<sub>9</sub>R'9, -(CH<sub>2</sub>)<sub>n</sub>-NR<sub>9</sub>-COR'9, -(CH<sub>2</sub>)<sub>n</sub>-CO-NR<sub>9</sub>R'9, -OPO<sub>3</sub>R<sub>9</sub>R'9, -PO<sub>2</sub>HR'9 and -PO<sub>3</sub>R<sub>9</sub>R'9; and wherein R<sub>9</sub> in this context is H or (C1-C32) alkyl and R'9 is H, (C1-C32) alkyl, (C2-C32) alkenyl or (C6-C14) aryl, or R<sub>9</sub> and R'9 as part of the radical -NR<sub>9</sub>R'9 form together with the N atom to which they are attached a 3-7 membered saturated ring, optionally further containing one or more N, S or O atoms; and n is 0 or an integer from 1 to 10.

3. (Withdrawn) The method according to claim 2, wherein:

R<sub>2</sub> is H, Cl, -NH<sub>2</sub>, or -SO<sub>3</sub>H;

R<sub>3</sub> is H or -SO<sub>3</sub>H;

R4 is H, Cl, -SO<sub>3</sub>H, -SO<sub>2</sub>C<sub>16</sub>H<sub>33</sub> or phenoxy optionally substituted by ethoxy;

R5 is H, -COOH or -SO<sub>3</sub>H;

R6 is H or Cl;

R7 is selected from the group consisting of:

(i) H;

(ii) (C17-C20) alkyl;

(iii) -COOH;

(iv) -NR<sub>9</sub>-COR'<sub>9</sub>, wherein R<sub>9</sub> is H and R'<sub>9</sub> is (C11-C20) alkyl optionally substituted by epoxy, (C16-C20) alkenyl optionally substituted by -COOH, or phenyl optionally substituted by -SO<sub>3</sub>H or -NH-CO-C<sub>17</sub>H<sub>35</sub>;

(v) phenyl, optionally substituted by -SO<sub>3</sub>H or by -NR<sub>9</sub>-COR'<sub>9</sub>, wherein R<sub>9</sub> is H and R'<sub>9</sub> is (C17-C20) alkyl; and

R8 is selected from the group consisting of:

(i) H;

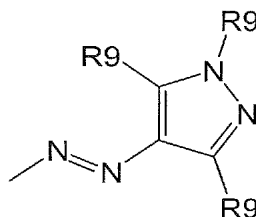
(ii) Br;

(iii) isopropyl;

(iv) -OC<sub>16</sub>H<sub>33</sub>;

(v) phenyl, optionally substituted by one or more halogen, -OR'<sub>9</sub>, -COOR'<sub>9</sub>, -SO<sub>3</sub>R'<sub>9</sub>, -NR<sub>9</sub>R'<sub>9</sub> or -NR<sub>9</sub>COR'<sub>9</sub>, wherein R<sub>9</sub> and R'<sub>9</sub> each independently is H or -C<sub>16</sub>H<sub>33</sub>;

(vi)



wherein R9 each independently is H, methyl or decenyl;  
and

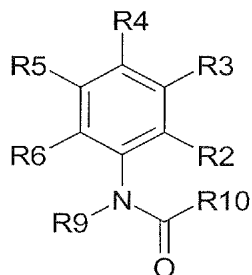
(vii) -N=N-phenyl optionally substituted by one or more  
Cl, -OR'9, -COOR'9, -SO<sub>3</sub>R'9, -NH<sub>2</sub>SO<sub>2</sub>R', -NR<sub>9</sub>R'9, or -NR<sub>9</sub>-  
CO-R'9, wherein R9 and R'9 each independently is H,  
methyl or ethyl, or R'9 is phenyl substituted by methyl.

4. (Withdrawn) The method according to claim 3,  
wherein said compound of formula Ia is selected from the group  
of compounds herein designated **Compounds Nos. 1, 5-22, 24-30,**  
**54, 56, 69, 71, 83, 84, 85 and 100,** or said compound of the  
formula I'a is the herein designated **Compound No. 32.**

Claim 5. (Cancelled)

6. (Withdrawn) The method according to claim 1,  
comprising administering a compound of the formula Ib:

[Ib]



wherein

R2 is selected from the group consisting of:

- (i) H;
- (ii) halogen;
- (iii) -OH;
- (iv) -O(C10-C22) alkyl;
- (v) -COOH;
- (vi) -NR<sub>9</sub>R'<sub>9</sub>, wherein R<sub>9</sub> and R'<sub>9</sub> each independently is H, or R<sub>9</sub> is (C1-C6) alkyl and R'<sub>9</sub> is H or (C10-C22) alkyl;  
and
- (vii) -O(C6-C14) aryl optionally substituted by one or more -COOH or -CO-NH<sub>2</sub>;

R3 is H or -COOH;

R4 is selected from the group consisting of:

- (i) H;
- (ii) -SO<sub>3</sub>H
- (iii) -O(C6-C14) aryl optionally substituted by one or more COOH;
- (iv) -S(C6-C14) aryl optionally substituted by one or more COOH; and
- (v) -NR<sub>9</sub>-CO-R'<sub>9</sub>, wherein R<sub>9</sub> and R'<sub>9</sub> each independently is H or (C10-C22) alkyl;

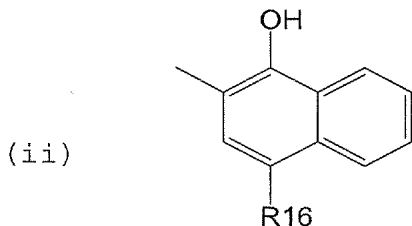
R5 is H, -COOH, -SO<sub>3</sub>H, or -NHSO<sub>2</sub>-(C6-C14) aryl optionally substituted by one or more -COOH;

R6 is H;

R9 is H or (C10-C22) alkyl;

R10 is selected from the group consisting of:

(i) (C10-C22) alkyl optionally substituted by one or more radicals selected from the group consisting of halogen, OH, epoxy and epithio;



wherein R16 is H, halogen, -COOH, -SO<sub>3</sub>H, -S-tetrazol-5-yl optionally substituted by phenyl, or -N=N-(C6-C14) aryl optionally substituted by one or more radicals selected from the group consisting of halogen, (C1-C6) alkyl, (C6-C14) aryl, -OH, -COOH, -COOR'<sub>9</sub>, -OR'<sub>9</sub> and -NHSO<sub>2</sub>R'<sub>9</sub>, wherein R'<sub>9</sub> is (C1-C6) alkyl or phenyl optionally substituted by (C1-C6) alkyl;

(iii) -CH<sub>2</sub>-CO-R17, wherein R17 is (C10-C22) alkyl, (C6-C14) aryl optionally substituted by -O-(C10-C22) alkyl or by -NH-CO-(C10-C22) alkyl; or -NH-NH-CO-(C10-C22) alkyl;

(iv) -NH-(C10-C22) alkyl; and

(v) (C10-C22) alkenyl optionally substituted by oxo;  
wherein any "(C10-C22) alkyl" as defined in R2, R4, R9 and R10 may be straight or branched and may be interrupted by one or more heteroatoms selected from the group consisting of O, S and N, and/or may be substituted by one or more radicals selected from the group consisting of halogen, (C3-C7) cycloalkyl preferably cyclopropyl, (C6-C14) aryl, nitro, -OR'9, -SR'9, epoxy, epithio, oxo, -COR'9, -COOR'9, -OSO<sub>3</sub>R'9, -SO<sub>3</sub>R'9, -SO<sub>2</sub>R'9, -NHSO<sub>2</sub>R'9, -NR<sub>9</sub>R'9, aziridine, =N-OR'9, =N-NR<sub>9</sub>R'9, -NR<sub>9</sub>-NR<sub>9</sub>R'9, -(CH<sub>2</sub>)<sub>n</sub>-NR<sub>9</sub>-COR'9, -(CH<sub>2</sub>)<sub>n</sub>-CO-NR<sub>9</sub>R'9, -OPO<sub>3</sub>R<sub>9</sub>R'9, -PO<sub>2</sub>HR'9 and -PO<sub>3</sub>R<sub>9</sub>R'9; and wherein R<sub>9</sub> is H or (C1-C32) alkyl and R'9 is selected from the group consisting of H, (C1-C32) alkyl, -(C2-C32) alkenyl and -(C6-C14) aryl, or R<sub>9</sub> and R'9 as part of the radical -NR<sub>9</sub>R'9 form together with the N atom to which they are attached a 3-7 membered saturated ring, optionally further containing one or more N, S or O atoms; and n is 0 or an integer from 1 to 10.

7. (Withdrawn) The method according to claim 6,  
wherein:

R2 is selected from the group consisting of:

- (i) H;
- (ii) Cl;
- (iii) -OH;
- (iv) -OC<sub>18</sub>H<sub>37</sub>;

(v) -COOH;

(vi) -NR<sub>9</sub>R'<sub>9</sub>, wherein R<sub>9</sub> is H or methyl and R'<sub>9</sub> is -C<sub>18</sub>H<sub>37</sub>; and

(vii) phenoxy optionally substituted by one or more -COOH or -CO-NH<sub>2</sub>;

R<sub>3</sub> is H or -COOH;

R<sub>4</sub> is selected from the group consisting of:

(i) H;

(ii) -SO<sub>3</sub>H

(iii) phenoxy optionally substituted by one or more -COOH;

(iv) phenylthio optionally substituted by one or more -COOH; and

(v) -NR<sub>9</sub>-CO-R'<sub>9</sub>, wherein R<sub>9</sub> and R'<sub>9</sub> each independently is H or -C<sub>17</sub>H<sub>35</sub>;

R<sub>5</sub> is H, -COOH, -SO<sub>3</sub>H, -NHSO<sub>2</sub>-phenyl optionally substituted by one or more -COOH;

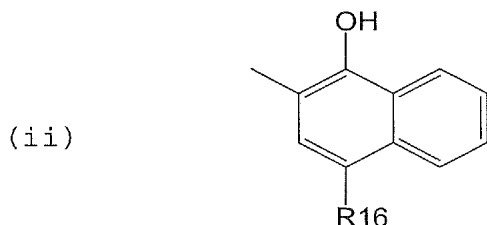
R<sub>6</sub> is H;

R<sub>9</sub> is H or -C<sub>18</sub>H<sub>37</sub>;

R<sub>10</sub> is selected from the group consisting of:

(i) -C<sub>17</sub>H<sub>35</sub>, optionally substituted by one or more radicals selected from the group consisting of Cl, -OH, epoxy and epithio;





wherein R16 is H, Br, -COOH, -SO<sub>3</sub>H, -S-tetrazol-5-yl optionally substituted by phenyl, or -N=N-phenyl optionally substituted by one or more radicals selected from the group consisting of Cl, methyl, phenyl, -OH, -COOH, -COOR'9, -OR'9 and -NHSO<sub>2</sub>R'9, wherein R'9 is methyl or phenyl optionally substituted by methyl;

(iii) -CH<sub>2</sub>-CO-R17, wherein R17 is selected from the group consisting of -C<sub>17</sub>H<sub>35</sub>, -C<sub>18</sub>H<sub>35</sub>, phenyl optionally substituted by -OC<sub>18</sub>H<sub>37</sub> or by -NH-CO-(C15-C20) alkyl, preferably -NH-CO-C<sub>17</sub>H<sub>35</sub>, and -NH-NH-CO-(C15-C20) alkyl, preferably -NH-NH-CO-C<sub>17</sub>H<sub>35</sub>;

(iv) -NH-C<sub>18</sub>H<sub>37</sub>; and

(v) (C16-C20) alkenyl, preferably -C<sub>17</sub>H<sub>33</sub> or -C<sub>16</sub>H<sub>31</sub>, optionally substituted by oxo.

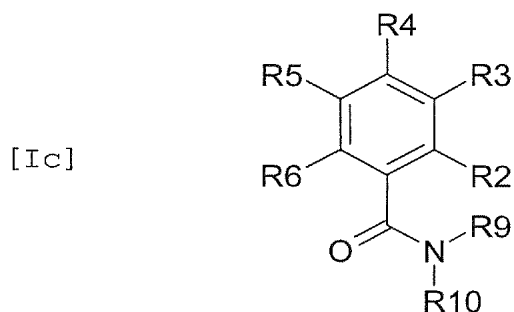
8. (Withdrawn) The method according to claim 7, comprising administration of: (i) a compound wherein R10 is -C<sub>17</sub>H<sub>35</sub>, selected from the group of compounds herein designated **Compounds Nos. 61, 87, 92, 93, 95 and 96**; (ii). a compound wherein R10 is 1-hydroxy-4-R18-2-naphthyl, selected from the group of compounds herein designated **Compounds Nos. 3, 33, 34,**

40, 41, 43, 45, 46, 47, 49, 50, 52, 53, 55, 62, 63 and 77;

(iii) a compound wherein R10 is  $-\text{CH}_2-\text{CO}-\text{R17}$ , selected from the group of compounds herein designated **Compounds Nos. 2, 23, 44, 51, 60 and 64**; (iv) the compound herein designated **Compound No. 70**, wherein R10 is  $-\text{NH}-\text{C}_{18}\text{H}_{37}$ ; or (v) a compound wherein R10 is (C10-C22) alkenyl, selected from the group of compounds herein designated **Compounds Nos. 86 and 94**.

Claims 9-12. (Cancelled)

13. (Withdrawn) The method according to claim 1, comprising administration of a compound of the formula Ic:



wherein

R2, R3, R4, R5, and R6 each independently represents hydrogen, halogen, nitro, (C1-C32) alkyl, (C2-C32) alkenyl, (C6-C14) aryl, heteroaryl,  $-\text{OR}'_9$ ,  $-\text{SR}'_9$ ,  $-\text{NR}'_9$ ,  $-(\text{CH}_2)_n-\text{NR}'_9-\text{COR}'_9$ ,  $-\text{COR}'_9$ ,  $-\text{COOR}'_9$ ,  $-(\text{CH}_2)_n-\text{CO}-\text{N}(\text{R}'_9)(\text{R}'_9)$ ;  $-\text{SO}_3\text{R}'_9$ ,  $-\text{SO}_2\text{R}'_9$ , or  $-\text{NHSO}_2\text{R}'_9$ ;

or R3 and R4 together with the carbon atoms to which they are attached form a condensed benzene ring;

R<sub>9</sub> is H or (C<sub>1</sub>-C<sub>32</sub>) alkyl and R'<sub>9</sub> is H, (C<sub>1</sub>-C<sub>32</sub>) alkyl, (C<sub>2</sub>-C<sub>32</sub>) alkenyl or (C<sub>6</sub>-C<sub>14</sub>) aryl, or R<sub>9</sub> and R'<sub>9</sub> as part of the radical -NR<sub>9</sub>R'<sub>9</sub> form together with the N atom to which they are attached a 3-7 membered saturated ring, optionally further containing one or more N, S or O atoms;

R<sub>10</sub> is

- (i) (C<sub>10</sub>-C<sub>22</sub>) alkyl; or
- (ii) -(CH<sub>2</sub>)<sub>n</sub>-NH-CO-R<sub>9</sub>-O-R'<sub>9</sub>, wherein  
R<sub>9</sub> is (C<sub>1</sub>-C<sub>6</sub>) alkyl, R'<sub>9</sub> is (C<sub>6</sub>-C<sub>14</sub>) aryl substituted by -C<sub>15</sub>H<sub>31</sub>;  
and n is an integer of 1 to 6;

and wherein the "(C<sub>1</sub>-C<sub>32</sub>) alkyl" and "(C<sub>2</sub>-C<sub>32</sub>) alkenyl" as defined in R<sub>2</sub> to R<sub>6</sub> and R<sub>9</sub> and the "(C<sub>10</sub>-C<sub>22</sub>) alkyl" as defined in R<sub>10</sub> may be straight or branched and may be interrupted by one or more heteroatoms selected from the group consisting of O, S and N, and/or may be substituted by one or more radicals selected from the group consisting of halogen, (C<sub>3</sub>-C<sub>7</sub>) cycloalkyl preferably cyclopropyl, (C<sub>6</sub>-C<sub>14</sub>) aryl, nitro, -OR'<sub>9</sub>, -SR'<sub>9</sub>, epoxy, epithio, oxo, -COR'<sub>9</sub>, COOR'<sub>9</sub>, -OSO<sub>3</sub>R'<sub>9</sub>, -SO<sub>3</sub>R'<sub>9</sub>, -SO<sub>2</sub>R'<sub>9</sub>, -NHSO<sub>2</sub>R'<sub>9</sub>, -NR<sub>9</sub>R'<sub>9</sub>, aziridine, =N-OR'<sub>9</sub>, =N-NR<sub>9</sub>R'<sub>9</sub>, -NR<sub>9</sub>-NR<sub>9</sub>R'<sub>9</sub>, -(CH<sub>2</sub>)<sub>n</sub>-NR<sub>9</sub>-COR'<sub>9</sub>, -(CH<sub>2</sub>)<sub>n</sub>-CO-NR<sub>9</sub>R'<sub>9</sub>, -OPO<sub>3</sub>R<sub>9</sub>R'<sub>9</sub>, -PO<sub>2</sub>HR'<sub>9</sub> and -PO<sub>3</sub>R<sub>9</sub>R'<sub>9</sub>; and wherein R<sub>9</sub> is H or (C<sub>1</sub>-C<sub>32</sub>) alkyl and R'<sub>9</sub> is selected from the group consisting of H, (C<sub>1</sub>-C<sub>32</sub>) alkyl, (C<sub>2</sub>-C<sub>32</sub>) alkenyl and (C<sub>6</sub>-C<sub>14</sub>)

aryl, or R<sup>9</sup> and R'<sup>9</sup> as part of the radical -NR<sup>9</sup>R'<sup>9</sup> form together with the N atom to which they are attached a 3-7 membered saturated ring, optionally further containing one or more N, S or O atoms; and n is 0 or an integer from 1 to 10; and wherein any "(C<sub>6</sub>-C<sub>14</sub>) aryl" as defined in R<sub>2</sub> to R<sub>6</sub> and R<sub>9</sub> may be substituted by one or more radicals selected from the group consisting of halogen, (C<sub>6</sub>-C<sub>14</sub>) aryl, (C<sub>1</sub>-C<sub>32</sub>) alkyl, nitro, OR'<sup>9</sup>, SR'<sup>9</sup>, -COR'<sup>9</sup>, COOR'<sup>9</sup>, -SO<sub>3</sub>R'<sup>9</sup>, -SO<sub>2</sub>R'<sup>9</sup>, -NHSO<sub>2</sub>R'<sup>9</sup>, -NR<sup>9</sup>R'<sup>9</sup>, -(CH<sub>2</sub>)<sub>n</sub>-NR<sup>9</sup>-COR'<sup>9</sup>, and -(CH<sub>2</sub>)<sub>n</sub>-CO-NR<sup>9</sup>R'<sup>9</sup>.

14. (Withdrawn) The method according to claim 8, wherein

R<sub>2</sub> is OH;

R<sub>3</sub> and R<sub>4</sub> together with the carbon atoms to which they are attached form a condensed benzene ring;

R<sub>5</sub> is H or -SO<sub>3</sub>H;

R<sub>6</sub> and R<sub>9</sub> each is H; and

R<sub>10</sub> is

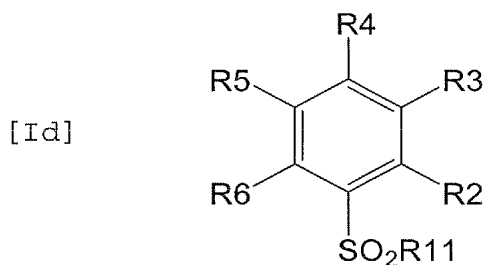
(i) -C<sub>18</sub>H<sub>37</sub>; or

(ii) -(CH<sub>2</sub>)<sub>n</sub>-NH-CO-R<sup>9</sup>-O-R'<sup>9</sup>, wherein R<sup>9</sup> is -CH(C<sub>2</sub>H<sub>5</sub>)

and R'<sup>9</sup> is phenyl substituted by -C<sub>15</sub>H<sub>31</sub>; and n is 3.

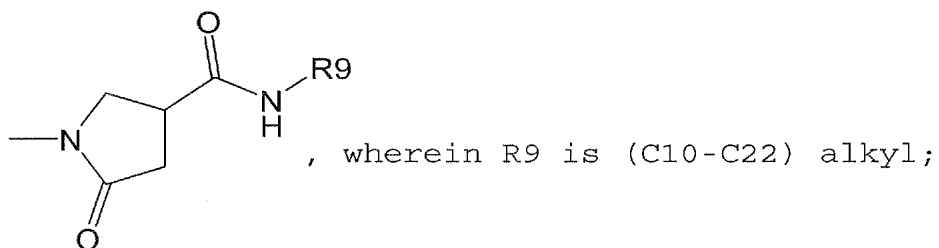
15. (Withdrawn) The method according to claim 9,  
comprising administering the compound herein designated  
**Compound No. 31 or No. 72.**

16. (Previously Presented) The method according to  
claim 1, comprising administering a compound of the formula  
Id:



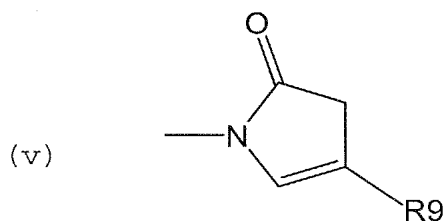
wherein R2 is H;

R3 is H, -COOH, -NH<sub>2</sub>, or



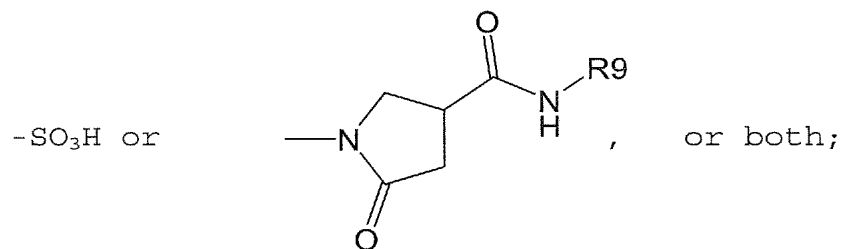
R4 is selected from the group consisting of:

- (i) H;
- (ii) -O-(C10-C22) alkyl;
- (iii) -NH-(C10-C22) alkyl;
- (iv) -SO<sub>2</sub>-(C10-C22) alkyl;



wherein R9 is (C10-C22) alkyl; and

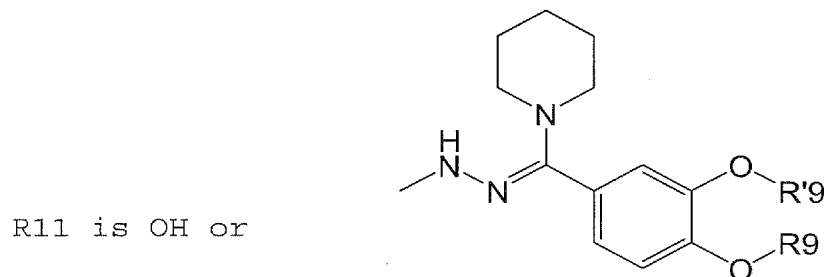
(vi) phenoxy optionally  
substituted by



wherein R9 is (C10-C22) alkyl;

R5 is H, -COOH or -NH<sub>2</sub>;

R6 is H or phenoxy optionally substituted by halogen, -COOH or  
-CONH<sub>2</sub>;



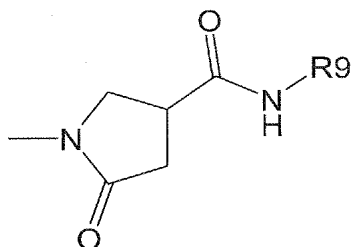
wherein R9 is (C10-C22) alkyl and R'9 is (C1-C6) alkyl;

wherein any "(C10-C22) alkyl" as defined in R4 and R9 may be straight or branched and may be interrupted by one or more heteroatoms selected from the group consisting of O, S and N, and/or may be substituted by one or more radicals selected from the group consisting of halogen, (C3-C7) cycloalkyl preferably cyclopropyl, (C6-C14) aryl, nitro, -OR'9, -SR'9, epoxy, epithio, oxo, -COR'9, -COOR'9, -OSO<sub>3</sub>R'9, -SO<sub>3</sub>R'9, -SO<sub>2</sub>R'9, -NHSO<sub>2</sub>R'9, -NR<sub>9</sub>R'9, aziridine, =N-OR'9, =N-NR<sub>9</sub>R'9, -NR<sub>9</sub>-NR<sub>9</sub>R'9, -(CH<sub>2</sub>)<sub>n</sub>-NR<sub>9</sub>-COR'9, -(CH<sub>2</sub>)<sub>n</sub>-CO-NR<sub>9</sub>R'9, -OPO<sub>3</sub>R<sub>9</sub>R'9, -PO<sub>2</sub>HR'9 and -PO<sub>3</sub>R<sub>9</sub>R'9; and wherein R<sub>9</sub> is H or (C1-C32) alkyl and R'9 is selected from the group consisting of H, (C1-C32) alkyl, (C2-C32) alkenyl and (C6-C14) aryl, or R<sub>9</sub> and R'9 as part of the radical -NR<sub>9</sub>R'9 form together with the N atom to which they are attached a 3-7 membered saturated ring, optionally further containing one or more N, S or O atoms; and n is 0 or an integer from 1 to 10.

17. (Previously Presented) The method according to claim 16, wherein:

R<sub>2</sub> is H;

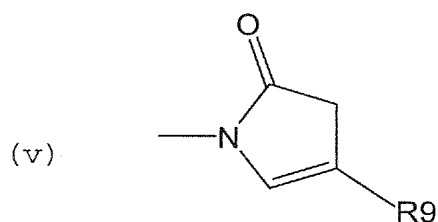
R<sub>3</sub> is H, -COOH, -NH<sub>2</sub> or



, wherein R<sub>9</sub> is -C<sub>18</sub>H<sub>37</sub>;

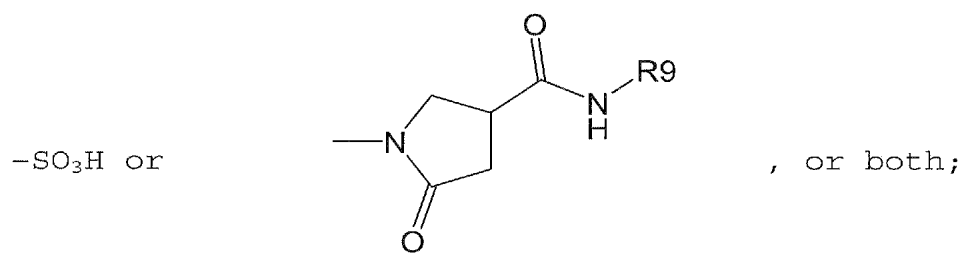
R4 is selected from the group consisting of:

- (i) H;
- (ii)  $-O-C_{16}H_{33}$ ;
- (iii)  $-NH-C_{19}H_{39}$ ;
- (iv)  $-SO_2-C_{16}H_{33}$ ;



wherein R9 is  $-C_{15}H_{31}$ ; and

- (vi) phenoxy, optionally substituted by



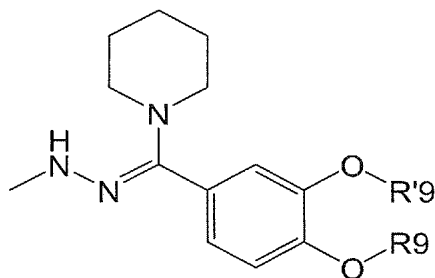
wherein R9 is  $-C_{18}H_{37}$ ;

R5 is H,  $-COOH$ , or  $-NH_2$ ;

R6 is H or phenoxy optionally substituted by halogen,  $-COOH$  or  $-CONH_2$ ;

and R11 is OH or

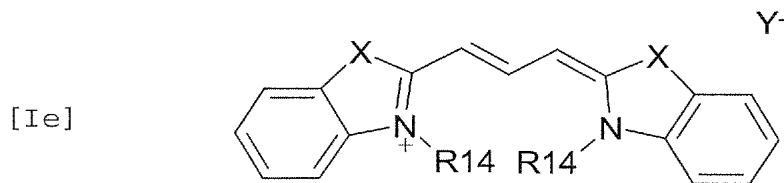




wherein R9 is  $-C_{16}H_{33}$  and R'9 is methyl.

18. (Previously Presented) The method according to claim 17, comprising administering a compound selected from the group of compounds herein designated **Compounds Nos. 75, 76, 88, 89, 101, 103, 104, 105, 106 and 107.**

19. (Withdrawn) The method according to claim 1, comprising administering a compound of the formula Ie:



wherein

X is O or S;

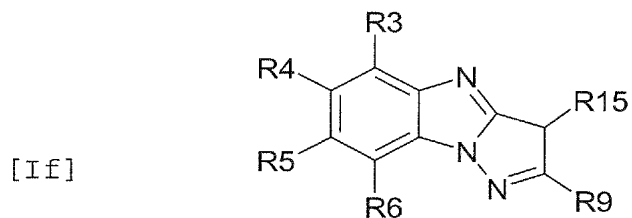
R14 is (C10-C22) alkyl; and

$Y^-$  is a counter ion selected from the group consisting of chloride, bromide, iodide, perchlorate, tosylate, mesylate, sulfate, phosphate and an organic anion;  
and wherein the "(C10-C22) alkyl" as defined in R14 may be straight or branched and may be interrupted by one or more heteroatoms selected from the group consisting of O, S and N, and/or may be substituted by one or more radicals selected from the group consisting of halogen, (C3-C7) cycloalkyl, preferably cyclopropyl, (C6-C14) aryl, nitro, -OR'9, -SR'9, epoxy, epithio, oxo, -COR'9, -COOR'9, -OSO<sub>3</sub>R'9, -SO<sub>3</sub>R'9, -SO<sub>2</sub>R'9, -NHSO<sub>2</sub>R'9, -NR<sub>9</sub>R'9, aziridine, =N-OR'9, =N-NR<sub>9</sub>R'9, -NR<sub>9</sub>-NR<sub>9</sub>R'9, -(CH<sub>2</sub>)<sub>n</sub>-NR<sub>9</sub>-COR'9, -(CH<sub>2</sub>)<sub>n</sub>-CO-NR<sub>9</sub>R'9, -OPO<sub>3</sub>R<sub>9</sub>R'9, -PO<sub>2</sub>HR'9 and -PO<sub>3</sub>R<sub>9</sub>R'9; and wherein R<sub>9</sub> is H or (C1-C32) alkyl and R'9 is selected from the group consisting of H, (C1-C32) alkyl, (C2-C32) alkenyl and (C6-C14) aryl, or R<sub>9</sub> and R'9 as part of the radical -NR<sub>9</sub>R'9 form together with the N atom to which they are attached a 3-7 membered saturated ring, optionally further containing one or more N, S or O atoms; and n is 0 or an integer from 1 to 10.

20. (Withdrawn) The method according to claim 19, comprising administering a compound of formula Ie, wherein X is O or S; R14 is -C<sub>18</sub>H<sub>37</sub>; and  $Y^-$  is perchlorate, said compounds herein designated as **Compound No. 66** or **67**, respectively.

Claim 21. (Cancelled)

22. (Withdrawn) The method according to claim 1,  
comprising administering a compound of the formula If:



wherein

R3 and R5 each is H;

R4 is H, -COOH or -SO<sub>3</sub>H;

R6 is H or -COOH;

R9 is H or (C10-C22) alkyl; and

R15 is H or -SO<sub>3</sub>H;

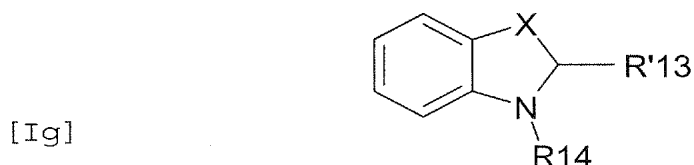
and wherein the "(C10-C22) alkyl" as defined in R9 may be straight or branched and may be interrupted by one or more heteroatoms selected from the group consisting of O, S and N, and/or may be substituted by one or more radicals selected from the group consisting of halogen, (C3-C7) cycloalkyl preferably cyclopropyl, (C6-C14) aryl, nitro, -OR'9, -SR'9, epoxy, epithio, oxo, -COR'9, -COOR'9, -OSO<sub>3</sub>R'9, -SO<sub>3</sub>R'9, -SO<sub>2</sub>R'9, -NHSO<sub>2</sub>R'9, -NR<sub>9</sub>R'9, aziridine, =N-OR'9, =N-NR<sub>9</sub>R'9, -NR<sub>9</sub>-NR<sub>9</sub>R'9, -(CH<sub>2</sub>)<sub>n</sub>-NR<sub>9</sub>-COR'9, -(CH<sub>2</sub>)<sub>n</sub>-CO-NR<sub>9</sub>R'9, -OPO<sub>3</sub>R<sub>9</sub>R'9, -

$\text{PO}_2\text{HR}'_9$  and  $-\text{PO}_3\text{R}_9\text{R}'_9$ ; and wherein  $\text{R}_9$  is H or (C1-C32) alkyl and  $\text{R}'_9$  is selected from the group consisting of H, (C1-C32) alkyl, (C2-C32) alkenyl and (C6-C14) aryl, or  $\text{R}_9$  and  $\text{R}'_9$  as part of the radical  $-\text{NR}_9\text{R}'_9$  form together with the N atom to which they are attached a 3-7 membered saturated ring, optionally further containing one or more N, S or O atoms; and n is 0 or an integer from 1 to 10.

23. (Withdrawn) The method according to claim 22, wherein  $\text{R}_3$  and  $\text{R}_5$  are H;  $\text{R}_6$  is H or  $-\text{COOH}$ ;  $\text{R}_4$  is H,  $\text{COOH}$  or  $-\text{SO}_3\text{H}$ ;  $\text{R}_9$  is H or  $-\text{C}_{17}\text{H}_{35}$ ; and  $\text{R}_{15}$  is H or  $-\text{SO}_3\text{H}$ .

24. (Withdrawn) The method according to claim 23, comprising administering a compound selected from the compounds herein designated **Compounds Nos. 4, 35 and 36**.

25. (Withdrawn) The method according to claim 1, comprising administering a compound of the formula Ig:



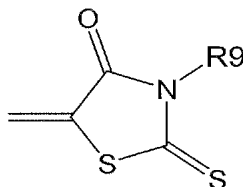
wherein

X is  $\text{NR}_{12}$  or  $\text{CR}'_{12}\text{R}''_{12}$ ;

$\text{R}_{12}$  is (C10-C22) alkyl;

$\text{R}'_{12}$  and  $\text{R}''_{12}$  each is (C1-C6) alkyl, or  $\text{R}'_{12}$  and  $\text{R}''_{12}$

together are a radical



wherein R<sub>9</sub> is H or (C<sub>10</sub>-C<sub>22</sub>) alkyl substituted by -COOH;

R'<sub>13</sub> is selected from the group consisting of =O, =NH and =N-NH-SO<sub>2</sub>-(C<sub>6</sub>-C<sub>14</sub>) aryl, wherein the aryl is either substituted by -COOH and -O-(C<sub>10</sub>-C<sub>22</sub>) alkyl, or by -NH-SO<sub>2</sub>-phenyl, wherein the phenyl is substituted by -COOH and -O-(C<sub>10</sub>-C<sub>22</sub>) alkyl; and

R<sub>14</sub> is (C<sub>1</sub>-C<sub>8</sub>) alkyl or -CH<sub>2</sub>-CH(OH)-(C<sub>6</sub>-C<sub>14</sub>) aryl substituted by one or more (C<sub>1</sub>-C<sub>6</sub>) alkoxy;

wherein any "(C<sub>10</sub>-C<sub>22</sub>) alkyl" as defined in R<sub>12</sub> and R'<sub>13</sub> may be straight or branched and may be interrupted by one or more heteroatoms selected from the group consisting of O, S and N, and/or may be substituted by one or more radicals selected from the group consisting of halogen, (C<sub>3</sub>-C<sub>7</sub>) cycloalkyl, preferably cyclopropyl, (C<sub>6</sub>-C<sub>14</sub>) aryl, nitro, -OR'<sub>9</sub>, -SR'<sub>9</sub>, epoxy, epithio, oxo, -COR'<sub>9</sub>, -COOR'<sub>9</sub>, -OSO<sub>3</sub>R'<sub>9</sub>, -SO<sub>3</sub>R'<sub>9</sub>, -SO<sub>2</sub>R'<sub>9</sub>, -NHSO<sub>2</sub>R'<sub>9</sub>, -NR<sub>9</sub>R'<sub>9</sub>, aziridine, =N-OR'<sub>9</sub>, =N-NR<sub>9</sub>R'<sub>9</sub>, -NR<sub>9</sub>-NR<sub>9</sub>R'<sub>9</sub>, -(CH<sub>2</sub>)<sub>n</sub>-NR<sub>9</sub>-COR'<sub>9</sub>, -(CH<sub>2</sub>)<sub>n</sub>-CO-NR<sub>9</sub>R'<sub>9</sub>, -OPO<sub>3</sub>R<sub>9</sub>R'<sub>9</sub>, -PO<sub>2</sub>HR'<sub>9</sub> and -PO<sub>3</sub>R<sub>9</sub>R'<sub>9</sub>; and wherein R<sub>9</sub> is H or (C<sub>1</sub>-C<sub>32</sub>) alkyl and R'<sub>9</sub> is selected from the group consisting of H, (C<sub>1</sub>-C<sub>32</sub>) alkyl, (C<sub>2</sub>-C<sub>32</sub>) alkenyl and (C<sub>6</sub>-C<sub>14</sub>) aryl, or R<sub>9</sub> and R'<sub>9</sub> as

part of the radical -NR<sup>9</sup>R'<sup>9</sup> form together with the N atom to which they are attached a 3-7 membered saturated ring, optionally further containing one or more N, S or O atoms; and n is 0 or an integer from 1 to 10.

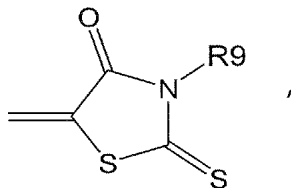
26. (Withdrawn) The method according to claim 25, wherein

X is NR<sup>12</sup> or CR'<sup>12</sup>R''<sup>12</sup>;

R<sup>12</sup> is -C<sub>16</sub>H<sub>33</sub>;

R'<sup>12</sup> and R''<sup>12</sup> each is methyl, or R'<sup>12</sup> and R''<sup>12</sup>

together are a radical



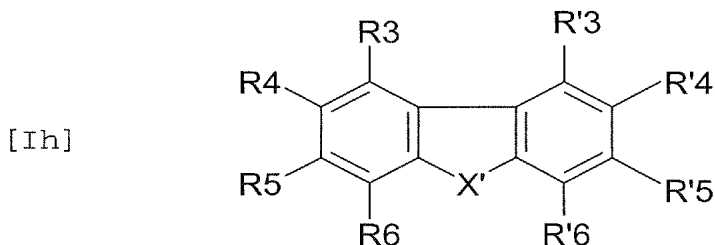
wherein R<sup>9</sup> is H or -C<sub>10</sub>H<sub>20</sub>-COOH;

R'<sup>13</sup> is =O, =NH or =N-NH-SO<sub>2</sub>-phenyl, wherein the phenyl is either substituted by -COOH and -OC<sub>18</sub>H<sub>37</sub>, or by -NH-SO<sub>2</sub>-phenyl, wherein the phenyl is substituted by -COOH and -OC<sub>18</sub>H<sub>37</sub>; and

R<sup>14</sup> is methyl or ethyl, or -CH<sub>2</sub>-CH(OH)-phenyl substituted by one or more methoxy groups.

27. (Withdrawn) The method according to claim 26, comprising administering a compound selected from the group of compounds herein designated **Compounds Nos. 48, 59 65 and 82.**

28. (Withdrawn) The method according to claim 1, comprising administering a compound of the formula Ih:



wherein

X' is O or NR<sub>14</sub>;

R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub>, R'<sub>3</sub> and R'<sub>5</sub> each is H or halogen;

R'<sub>4</sub> is H, halogen or (C<sub>10</sub>-C<sub>22</sub>) alkenyl;

R<sub>6</sub> and R'<sub>6</sub> each is H or -COOH; and

R<sub>14</sub> is (C<sub>10</sub>-C<sub>22</sub>) alkyl interrupted by one or more N atoms and substituted by hydroxy;

and wherein the "(C<sub>10</sub>-C<sub>22</sub>) alkenyl" as defined in R'<sub>4</sub> may be straight or branched and may be interrupted by one or more heteroatoms selected from the group consisting of O, S and N, and/or may be substituted by one or more radicals selected from the group consisting of halogen, (C<sub>3</sub>-C<sub>7</sub>) cycloalkyl preferably cyclopropyl, (C<sub>6</sub>-C<sub>14</sub>) aryl, nitro, -OR'<sub>9</sub>, -SR'<sub>9</sub>, epoxy, epithio, oxo, -COR'<sub>9</sub>, -COOR'<sub>9</sub>, -OSO<sub>3</sub>R'<sub>9</sub>, -SO<sub>3</sub>R'<sub>9</sub>, -SO<sub>2</sub>R'<sub>9</sub>, -NHSO<sub>2</sub>R'<sub>9</sub>, -NR<sub>9</sub>R'<sub>9</sub>, aziridine, =N-OR'<sub>9</sub>, =N-NR<sub>9</sub>R'<sub>9</sub>, -NR<sub>9</sub>-NR<sub>9</sub>R'<sub>9</sub>, -(CH<sub>2</sub>)<sub>n</sub>-NR<sub>9</sub>-COR'<sub>9</sub>, -(CH<sub>2</sub>)<sub>n</sub>-CO-NR<sub>9</sub>R'<sub>9</sub>, -OPO<sub>3</sub>R<sub>9</sub>R'<sub>9</sub>, -PO<sub>2</sub>HR'<sub>9</sub> and -PO<sub>3</sub>R<sub>9</sub>R'<sub>9</sub>; and wherein R<sub>9</sub> is H or (C<sub>1</sub>-C<sub>32</sub>) alkyl and R'<sub>9</sub> is selected from the group consisting of H, (C<sub>1</sub>-C<sub>32</sub>)

alkyl, (C2-C32) alkenyl and (C6-C14) aryl, or R<sub>9</sub> and R'<sub>9</sub> as part of the radical -NR<sub>9</sub>R'<sub>9</sub> form together with the N atom to which they are attached a 3-7 membered saturated ring, optionally further containing one or more N, S or O atoms; and n is 0 or an integer from 1 to 10.

29. (Withdrawn) The method according to claim 28, wherein:

X' is O or NR<sub>14</sub>;

R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub>, R'<sub>3</sub> and R'<sub>5</sub> each is H, Cl or Br;

R'<sub>4</sub> is selected from the group consisting of H, Cl, Br and -C<sub>20</sub>H<sub>39</sub>;

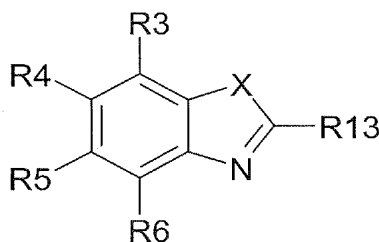
R<sub>6</sub> and R'<sub>6</sub> each is - H or -COOH; and

R<sub>14</sub> is C<sub>10</sub>H<sub>21</sub>-NH-CH<sub>2</sub>-CH(OH)-CH<sub>2</sub>- or C<sub>18</sub>H<sub>37</sub>-NH-CH<sub>2</sub>-CH(OH)-CH<sub>2</sub>-.

30. (Withdrawn) The method according to claim 29, comprising administering a compound selected from the group of compounds herein designated **Compounds Nos. 68, 90 and 91**.

31. (Withdrawn) The method according to claim 1, comprising administering a compound of the formula Ii:

[Ii]





wherein

X is O, S or NR<sub>12</sub>;

R<sub>4</sub> is H or -SO<sub>3</sub>H;

R<sub>6</sub> is H;

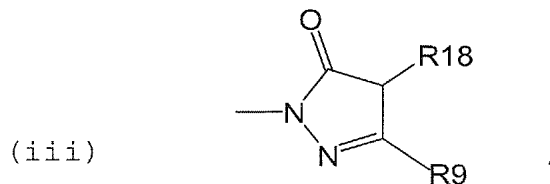
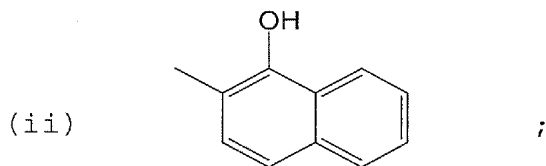
R<sub>3</sub> is H or -COOH;

R<sub>5</sub> is H, -COOH or -SO<sub>3</sub>H;

R<sub>12</sub> is H or (C<sub>10</sub>-C<sub>22</sub>) alkyl;

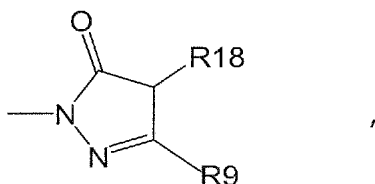
R<sub>13</sub> is selected from the group consisting of:

(i) (C<sub>1</sub>-C<sub>6</sub>) alkyl;



wherein R<sub>9</sub> is (C<sub>10</sub>-C<sub>22</sub>) alkyl and R<sub>18</sub> is H or =N-(C<sub>6</sub>-C<sub>14</sub>) aryl wherein the aryl is optionally substituted by -NR<sub>9</sub>R'<sub>9</sub>, wherein R<sub>9</sub> and R'<sub>9</sub> each is (C<sub>1</sub>-C<sub>6</sub>) alkyl;

(iv) (C<sub>6</sub>-C<sub>14</sub>) aryl, optionally substituted by



wherein R9 is (C10-C22) alkyl and R18 is =N-(C6-C14) aryl, wherein the aryl is optionally substituted by -NR9R'9, wherein R9 and R'9 each is (C1-C6) alkyl; and  
(v) -N=CH-(C6-C10) aryl substituted by one or more halogen and -OH or by one or more -OH and nitro;

wherein any "(C10-C22) alkyl" as defined in R12 and R13 may be straight or branched and may be interrupted by one or more heteroatoms selected from the group consisting of O, S and N, and/or may be substituted by one or more radicals selected from the group consisting of halogen, (C3-C7) cycloalkyl preferably cyclopropyl, (C6-C14) aryl, nitro, -OR'9, -SR'9, epoxy, epithio, oxo, -COR'9, -COOR'9, -OSO3R'9, -SO3R'9, -SO2R'9, -NHSO2R'9, -NR9R'9, aziridine, =N-OR'9, =N-NR9R'9, -NR9-NR9R'9, -(CH2)n-NR9-COR'9, -(CH2)n-CO-NR9R'9, -OPO3R9R'9, -PO2HR'9 and -PO3R9R'9; and wherein R9 is H or (C1-C32) alkyl and R'9 is selected from the group consisting of H, (C1-C32) alkyl, (C2-C32) alkenyl and (C6-C14) aryl, or R9 and R'9 as part of the radical -NR9R'9 form together with the N atom to which they are attached a 3-7 membered saturated ring, optionally further containing one or more N, S or O atoms; and n is 0 or an integer from 1 to 10.

32. (Withdrawn) The method according to claim 31,  
wherein:

X is O, S or NR<sub>12</sub>;

R<sub>4</sub> is H or -SO<sub>3</sub>H;

R<sub>6</sub> is H;

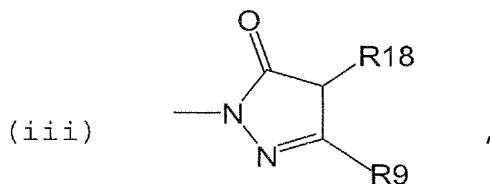
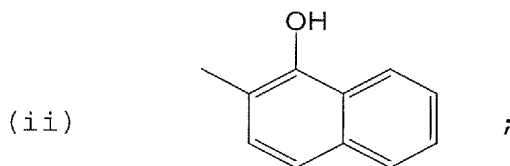
R<sub>3</sub> is H or -COOH;

R<sub>5</sub> is H, -COOH or -SO<sub>3</sub>H;

R<sub>12</sub> is H, -C<sub>16</sub>H<sub>33</sub> or -C<sub>18</sub>H<sub>37</sub>;

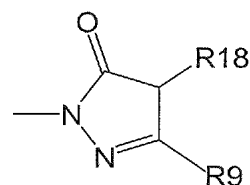
R<sub>13</sub> is selected from the group consisting of:

(i) methyl;



wherein R<sub>9</sub> is -C<sub>17</sub>H<sub>35</sub> and R<sub>18</sub> is H or =N-phenyl, wherein  
the phenyl is optionally substituted by -NR<sub>9</sub>R'<sub>9</sub>, wherein  
R<sub>9</sub> and R'<sub>9</sub> each is ethyl;

(iv) phenyl optionally substituted by



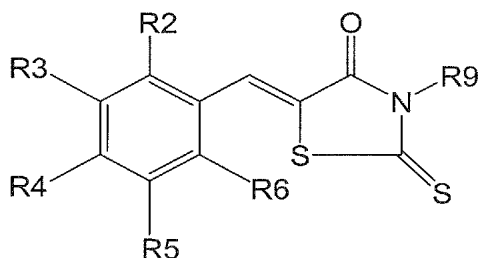
wherein R9 is  $-C_{17}H_{35}$  and R18 is  $=N$ -phenyl, wherein the phenyl is optionally substituted by  $-NR_9R'_9$ , wherein R9 and R'9 each is ethyl; and

(v)  $-N=CH$ -phenyl optionally substituted by  $-OH$  and one or more Cl or Br, or naphthyl optionally substituted by  $-OH$  or nitro, or both.

33. (Withdrawn) The method according to claim 32, comprising administering a compound selected from the compounds herein designated **Compounds Nos. 37, 38, 39, 42, 57, 58, 73 and 102.**

34. (Withdrawn) The method according to claim 1, comprising administering a compound of the formula Ij:

[Ij]



wherein

R2, R4, R5 and R6 each is H;

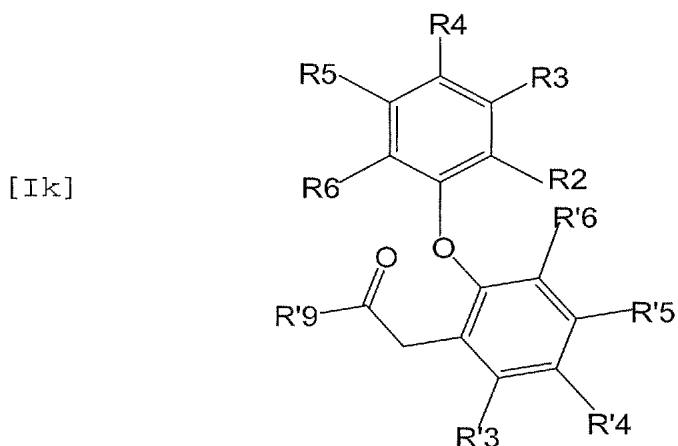
R3 is H or halogen; and

R9 is H or (C10-C22) alkyl substituted by -COOH.

35. (Withdrawn) The method according to claim 34, wherein R2, R4, R5 and R6 each is H; R3 is H or Br; and R9 is H or -C<sub>10</sub>H<sub>20</sub>-COOH.

36. (Withdrawn) The method according to claim 35, comprising the compound herein designated **Compound No. 81**.

37. (Withdrawn) The method according to claim 1, comprising administering a compound of the formula Ik:



wherein

R2, R4, R6, R'3, R'5 and R'6 each is H;

R3, R5 and R'4 each is H or -COOH; and

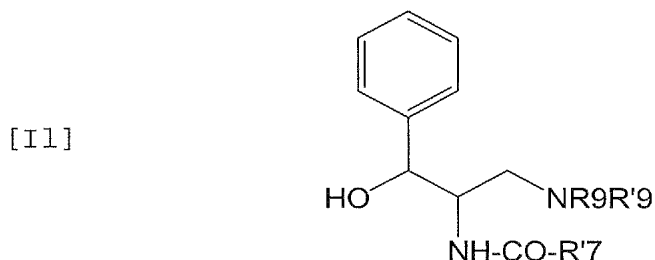
R'9 is (C10-C22) alkenyl optionally substituted by OH and -CF<sub>3</sub>;

and wherein the "(C10-C22) alkenyl" as defined in R'9 may be straight or branched and may be interrupted by one or more heteroatoms selected from the group consisting of O, S and N, and/or may be substituted by one or more radicals selected from the group consisting of halogen, (C3-C7) cycloalkyl preferably cyclopropyl, (C6-C14) aryl, nitro, -OR'9, -SR'9, epoxy, epithio, oxo, -COR'9, -COOR'9, -OSO<sub>3</sub>R'9, -SO<sub>3</sub>R'9, -SO<sub>2</sub>R'9, -NH<sub>2</sub>SO<sub>2</sub>R'9, -NR<sub>9</sub>R'9, aziridine, =N-OR'9, =N-NR<sub>9</sub>R'9, -NR<sub>9</sub>-NR<sub>9</sub>R'9, -(CH<sub>2</sub>)<sub>n</sub>-NR<sub>9</sub>-COR'9, -(CH<sub>2</sub>)<sub>n</sub>-CO-NR<sub>9</sub>R'9, -OPO<sub>3</sub>R<sub>9</sub>R'9, -PO<sub>2</sub>HR'9 and -PO<sub>3</sub>R<sub>9</sub>R'9; and wherein R<sub>9</sub> is H or (C1-C32) alkyl and R'9 is selected from the group consisting of H, (C1-C32) alkyl, (C2-C32) alkenyl and (C6-C14) aryl, or R<sub>9</sub> and R'9 as part of the radical -NR<sub>9</sub>R'9 form together with the N atom to which they are attached a 3-7 membered saturated ring, optionally further containing one or more N, S or O atoms; and n is 0 or an integer from 1 to 10.

38. (Withdrawn) The method according to claim 37, wherein R<sub>2</sub>, R<sub>4</sub>, R<sub>6</sub>, R'3, R'5 and R'6 each is H; R<sub>3</sub>, R<sub>5</sub> and R'4 each is -COOH; and R'9 is C<sub>17</sub>H<sub>31</sub> optionally substituted by OH and -CF<sub>3</sub>.

39. (Withdrawn) The method according to claim 38, comprising administering the compound herein designated  
**Compound No. 98.**

40. (Withdrawn) The method according to claim 1,  
comprising administering a compound of the formula II:



wherein

R'7 is (C10-C22) alkyl; and

R9 and R'9 together with the N atom to which they are attached  
form a 3-7 membered saturated ring, optionally containing a  
further O, N or S atom;

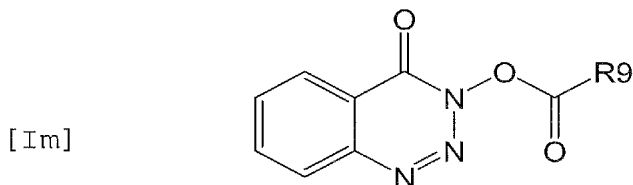
and wherein any "(C10-C22) alkyl" as defined in R'7, may be  
straight or branched and may be interrupted by one or more  
heteroatoms selected from the group consisting of O, S and N,  
and/or may be substituted by one or more radicals selected  
from the group consisting of halogen, (C3-C7) cycloalkyl  
preferably cyclopropyl, (C6-C14) aryl, nitro, -OR'9, -SR'9,  
epoxy, epithio, oxo, -COR'9, COOR'9, -OSO<sub>3</sub>R'9, -SO<sub>3</sub>R'9, -  
SO<sub>2</sub>R'9, -NHSO<sub>2</sub>R'9, -NR9R'9, aziridine, =N-OR'9, =N-NR9R'9, -  
NR9-NR9R'9, -(CH<sub>2</sub>)<sub>n</sub>-NR9-COR'9, -(CH<sub>2</sub>)<sub>n</sub>-CO-NR9R'9, -OPO<sub>3</sub>R9R'9, -  
PO<sub>2</sub>HR'9 and -PO<sub>3</sub>R9R'9; and wherein R9 is H or (C1-C32) alkyl  
and R'9 is selected from the group consisting of H, (C1-C32)

alkyl, (C2-C32) alkenyl and (C6-C14) aryl, or R<sup>9</sup> and R'<sup>9</sup> as part of the radical -NR<sup>9</sup>R'<sup>9</sup> form together with the N atom to which they are attached a 3-7 membered saturated ring, optionally further containing one or more N, S or O atoms; and n is 0 or an integer from 1 to 10.

41. (Withdrawn) The method according to claim 40, wherein R'<sup>7</sup> is (C10-C22) alkyl and R<sup>9</sup> and R'<sup>9</sup> together with the N atom to which they are attached form a morpholine ring.

42. (Withdrawn) The method according to claim 41, comprising administering the compound herein designated **Compound No. 74**.

43. (Withdrawn) The method according to claim 1, comprising administering a compound of the formula Im:



wherein

R<sup>9</sup> is (C10-C22) alkyl, or (C10-C22) alkyl interrupted by one or more heteroatoms selected from the group consisting of O, S and N, or (C10-C22) alkyl substituted or both interrupted and substituted by one or more radicals selected from the group consisting of halogen, (C3-C7) cycloalkyl preferably

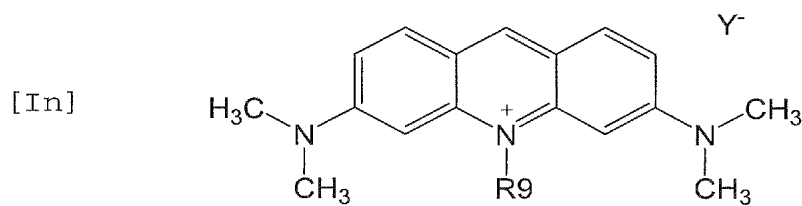


cyclopropyl, (C6-C14) aryl, nitro, -OR'9, -SR'9, epoxy, epithio, oxo, -COR'9, -COOR'9, -OSO<sub>3</sub>R'9, -SO<sub>3</sub>R'9, -SO<sub>2</sub>R'9, -NHSO<sub>2</sub>R'9, -NR<sub>9</sub>R'9, aziridine, =N-OR'9, =N-NR<sub>9</sub>R'9, -NR<sub>9</sub>-NR<sub>9</sub>R'9, -(CH<sub>2</sub>)<sub>n</sub>-NR<sub>9</sub>-COR'9, -(CH<sub>2</sub>)<sub>n</sub>-CO-NR<sub>9</sub>R'9, -OPO<sub>3</sub>R<sub>9</sub>R'9, -PO<sub>2</sub>HR'9 and -PO<sub>3</sub>R<sub>9</sub>R'9; and wherein R<sub>9</sub> is H or (C1-C32) alkyl and R'9 is selected from the group consisting of H, (C1-C32) alkyl, (C2-C32) alkenyl and (C6-C14) aryl, or R<sub>9</sub> and R'9 as part of the radical -NR<sub>9</sub>R'9 form together with the N atom to which they are attached a 3-7 membered saturated ring, optionally further containing one or more N, S or O atoms; and n is 0 or an integer from 1 to 10.

44. (Withdrawn) The method according to claim 43, wherein R<sub>9</sub> is -C<sub>17</sub>H<sub>33</sub> optionally substituted by epoxy.

45. (Withdrawn) The method according to claim 44, comprising administering the compound herein designated **Compound No. 99**.

46. (Withdrawn) The method according to claim 1, comprising administering a compound of the formula In:



wherein

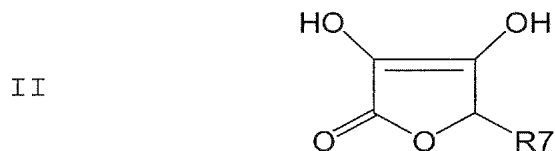
R<sub>9</sub> is (C<sub>10</sub>-C<sub>22</sub>) alkyl; and

Y<sup>-</sup> is a counter ion selected from the group consisting of chloride, bromide, iodide, perchlorate, tosylate, mesylate, sulfate, phosphate and an organic anion;

and wherein the "(C<sub>10</sub>-C<sub>22</sub>) alkyl" as defined in R<sub>9</sub> may be straight or branched and may be interrupted by one or more heteroatoms selected from the group consisting of O, S and N, and/or may be substituted by one or more radicals selected from the group consisting of halogen, (C<sub>3</sub>-C<sub>7</sub>) cycloalkyl preferably cyclopropyl, (C<sub>6</sub>-C<sub>14</sub>) aryl, nitro, -OR'<sub>9</sub>, -SR'<sub>9</sub>, epoxy, epithio, oxo, -COR'<sub>9</sub>, -COOR'<sub>9</sub>, -OSO<sub>3</sub>R'<sub>9</sub>, -SO<sub>3</sub>R'<sub>9</sub>, -SO<sub>2</sub>R'<sub>9</sub>, -NHSO<sub>2</sub>R'<sub>9</sub>, -NR<sub>9</sub>R'<sub>9</sub>, aziridine, =N-OR'<sub>9</sub>, =N-NR<sub>9</sub>R'<sub>9</sub>, -NR<sub>9</sub>-NR<sub>9</sub>R'<sub>9</sub>, -(CH<sub>2</sub>)<sub>n</sub>-NR<sub>9</sub>-COR'<sub>9</sub>, -(CH<sub>2</sub>)<sub>n</sub>-CO-NR<sub>9</sub>R'<sub>9</sub>, -OPO<sub>3</sub>R<sub>9</sub>R'<sub>9</sub>, -PO<sub>2</sub>HR'<sub>9</sub> and -PO<sub>3</sub>R<sub>9</sub>R'<sub>9</sub>; and wherein R<sub>9</sub> is H or -(C<sub>1</sub>-C<sub>32</sub>) alkyl and R'<sub>9</sub> is selected from the group consisting of H, (C<sub>1</sub>-C<sub>32</sub>) alkyl, (C<sub>2</sub>-C<sub>32</sub>) alkenyl and (C<sub>6</sub>-C<sub>14</sub>) aryl, or R<sub>9</sub> and R'<sub>9</sub> as part of the radical -NR<sub>9</sub>R'<sub>9</sub> form together with the N atom to which they are attached a 3-7 membered saturated ring, optionally further containing one or more N, S or O atoms; and n is 0 or an integer from 1 to 10.

47. (Withdrawn) The method according to claim 46, comprising administering the compound herein designated **Compound No. 79**, wherein R<sub>9</sub> is -C<sub>18</sub>H<sub>37</sub> and Y<sup>-</sup> is bromide.

48. (Withdrawn) The method according to claim 1, comprising administering a compound of the general formula II:



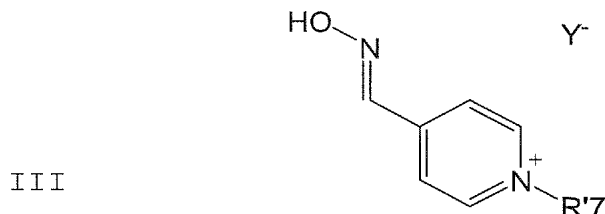
wherein

R7 is  $-\text{CH}(\text{OH})-\text{CH}_2-\text{O}-\text{CO}-\text{R}_9$  and R9 is (C10-C22) alkyl;

and wherein the "(C10-C22) alkyl" as defined in R9 may be straight or branched and may be interrupted by one or more heteroatoms selected from the group consisting of O, S and N, and/or may be substituted by one or more radicals selected from the group consisting of halogen, (C3-C7) cycloalkyl preferably cyclopropyl, (C6-C14) aryl, nitro,  $-\text{OR}'_9$ ,  $-\text{SR}'_9$ , epoxy, epithio, oxo,  $-\text{COR}'_9$ ,  $-\text{COOR}'_9$ ,  $-\text{OSO}_3\text{R}'_9$ ,  $-\text{SO}_3\text{R}'_9$ ,  $-\text{SO}_2\text{R}'_9$ ,  $-\text{NH}\text{SO}_2\text{R}'_9$ ,  $-\text{NR}_9\text{R}'_9$ , aziridine,  $=\text{N}-\text{OR}'_9$ ,  $=\text{N}-\text{NR}_9\text{R}'_9$ ,  $-\text{NR}_9-\text{NR}_9\text{R}'_9$ ,  $-(\text{CH}_2)_n-\text{NR}_9-\text{COR}'_9$ ,  $-(\text{CH}_2)_n-\text{CO}-\text{NR}_9\text{R}'_9$ ,  $-\text{OPO}_3\text{R}_9\text{R}'_9$ ,  $-\text{PO}_2\text{HR}'_9$  and  $-\text{PO}_3\text{R}_9\text{R}'_9$ ; and wherein R9 is H or (C1-C32) alkyl and R'9 is selected from the group consisting of H, (C1-C32) alkyl, (C2-C32) alkenyl and (C6-C14) aryl, or R9 and R'9 as part of the radical  $-\text{NR}_9\text{R}'_9$  form together with the N atom to which they are attached a 3-7 membered saturated ring, optionally further containing one or more N, S or O atoms; and n is 0 or an integer from 1 to 10.

49. (Withdrawn) The method according to claim 48, comprising administering the compound herein designated **Compound No. 78**, wherein R7 is -CH(OH)-CH<sub>2</sub>-O-CO-R9 and R9 is -C<sub>15</sub>H<sub>31</sub>.

50. (Withdrawn) The method according to claim 1, comprising administering a compound of the general formula III:



wherein

R'7 is (C10-C22) alkyl; and

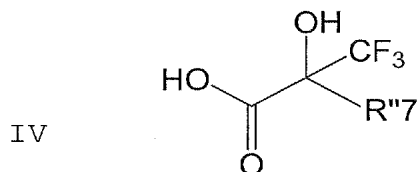
Y<sup>-</sup> is a counter ion selected from the group consisting chloride, bromide, iodide, perchlorate, tosylate, mesylate, sulfate, phosphate and an organic anion;

and wherein the "(C10-C22) alkyl" as defined in R'7 may be straight or branched and may be interrupted by one or more heteroatoms selected from the group consisting of O, S and N, and/or may be substituted by one or more radicals selected from the group consisting of halogen, (C3-C7) cycloalkyl preferably cyclopropyl, (C6-C14) aryl, nitro, -OR'9, -SR'9, epoxy, epithio, oxo, -COR'9, -COOR'9, -OSO<sub>3</sub>R'9, -SO<sub>3</sub>R'9, -

SO<sub>2</sub>R'<sup>9</sup>, -NHSO<sub>2</sub>R'<sup>9</sup>, -NR<sup>9</sup>R'<sup>9</sup>, aziridine, =N-OR'<sup>9</sup>, =N-NR<sup>9</sup>R'<sup>9</sup>, -NR<sup>9</sup>-NR<sup>9</sup>R'<sup>9</sup>, -(CH<sub>2</sub>)<sub>n</sub>-NR<sup>9</sup>-COR'<sup>9</sup>, -(CH<sub>2</sub>)<sub>n</sub>-CO-NR<sup>9</sup>R'<sup>9</sup>, -OPO<sub>3</sub>R<sup>9</sup>R'<sup>9</sup>, -PO<sub>2</sub>HR'<sup>9</sup> and -PO<sub>3</sub>R<sup>9</sup>R'<sup>9</sup>; and wherein R<sup>9</sup> is H or (C1-C32) alkyl and R'<sup>9</sup> is selected from the group consisting of H, (C1-C32) alkyl, (C2-C32) alkenyl and (C6-C14) aryl, or R<sup>9</sup> and R'<sup>9</sup> as part of the radical -NR<sup>9</sup>R'<sup>9</sup> form together with the N atom to which they are attached a 3-7 membered saturated ring, optionally further containing one or more N, S or O atoms; and n is 0 or an integer from 1 to 10.

51. (Withdrawn) The method according to claim 50, comprising administering the compound herein designated **Compound No. 80**, wherein R'<sup>7</sup> is -C<sub>16</sub>H<sub>33</sub>, and Y<sup>-</sup> is bromide.

52. (Withdrawn) The method according to claim 1, comprising administering a compound of the general formula IV:



wherein R''<sup>7</sup> is (C2-C32) alkenyl, that may be straight or branched and may be interrupted by one or more heteroatoms selected from the group consisting of O, S and N, and/or may be substituted by one or more radicals selected from the group consisting of halogen, (C3-C7) cycloalkyl preferably

cyclopropyl, (C6-C14) aryl, nitro, -OR'9, -SR'9, epoxy, epithio, oxo, -COR'9, -COOR'9, -OSO<sub>3</sub>R'9, -SO<sub>3</sub>R'9, -SO<sub>2</sub>R'9, -NH<sub>2</sub>SO<sub>2</sub>R'9, -NR<sub>9</sub>R'9, aziridine, =N-OR'9, =N-NR<sub>9</sub>R'9, -NR<sub>9</sub>-NR<sub>9</sub>R'9, -(CH<sub>2</sub>)<sub>n</sub>-NR<sub>9</sub>-COR'9, -(CH<sub>2</sub>)<sub>n</sub>-CO-NR<sub>9</sub>R'9, -OPO<sub>3</sub>R<sub>9</sub>R'9, -PO<sub>2</sub>HR'9 and -PO<sub>3</sub>R<sub>9</sub>R'9; and wherein R<sub>9</sub> is H or (C1-C32) alkyl and R'9 is selected from the group consisting of H, (C1-C32) alkyl, (C2-C32) alkenyl and (C6-C14) aryl, or R<sub>9</sub> and R'9 as part of the radical -NR<sub>9</sub>R'9 form together with the N atom to which they are attached a 3-7 membered saturated ring, optionally further containing one or more N, S or O atoms; and n is 0 or an integer from 1 to 10.

53. (Withdrawn) The method according to claim 52, comprising administering the compound herein designated **Compound No. 97**, wherein R''7 is -C<sub>16</sub>H<sub>31</sub>.

54. (Previously Presented) The method according to claim 1, for inhibition of angiogenesis.

55. (Previously Presented) The method according to claim 1, for treatment or inhibition of a malignant cell proliferative disease or disorder.

56. (Previously Presented) The method according to claim 55, for the treatment or inhibition of non-solid cancer.

57. (Previously Presented) The method according to claim 55, for the treatment or inhibition of a solid tumor.

58. (Currently Amended) The method according to claim ~~56~~57, for treating or inhibiting tumor formation, primary tumors, tumor progression or tumor metastasis.

59. (Previously Presented) The method according to claim 1, for treatment of ophthalmologic disorders selected from the group consisting of diabetic retinopathy and macular degeneration, particularly age-related macular degeneration.

60. (Previously Presented) The method according to claim 1, for inhibiting or treating a cell proliferative disease or disorder.

61. (Previously Presented) The method according to claim 1, for inhibiting or treatment of a disease or disorder selected from the group consisting of polyps, multiple exostosis, hereditary exostosis, retrolental fibroplasia, hemangioma, reperfusion of gastric ulcer and arteriovenous malformation.

62. (Previously Presented) The method according to claim 1, for contraception or for inducing abortion at early stages of pregnancy.

63. (Previously Presented) The method according to claim 1, for treatment of, or amelioration of, inflammatory symptoms in any disease, condition or disorder where immune and/or inflammation suppression is beneficial.

64. (Previously Presented) The method according to claim 63, for treatment of, or amelioration of, inflammatory symptoms in the joints, musculoskeletal or connective tissue disorders.

65. (Previously Presented) The method according to claim 63, for treatment of, or amelioration of, inflammatory symptoms associated with hypersensitivity, allergic reactions, asthma, atherosclerosis, otitis or other otorhinolaryngological diseases, dermatitis or other skin diseases, posterior and anterior uveitis, conjunctivitis, optic neuritis, scleritis or other immune and/or inflammatory ophthalmic diseases.

66. (Previously Presented) The method according to claim 1, for treatment of, or amelioration of, an autoimmune disease.

67. (Previously Presented) The method according to claim 66, wherein said autoimmune disease is Eaton-Lambert syndrome, Goodpasture's syndrome, Grave's disease, Guillain-Barré syndrome, autoimmune hemolytic anemia (AIHA), hepatitis,



insulin-dependent diabetes mellitus (IDDM), systemic lupus erythematosus (SLE), multiple sclerosis (MS), myasthenia gravis, plexus disorders e.g. acute brachial neuritis, polyglandular deficiency syndrome, primary biliary cirrhosis, rheumatoid arthritis, scleroderma, thrombocytopenia, thyroiditis e.g. Hashimoto's disease, Sjögren's syndrome, allergic purpura, psoriasis, mixed connective tissue disease, polymyositis, dermatomyositis, vasculitis, polyarteritis nodosa, polymyalgia rheumatica, Wegener's granulomatosis, Reiter's syndrome, Behçet's syndrome, ankylosing spondylitis, pemphigus, bullous pemphigoid, dermatitis herpetiformis, Crohn's disease or autism.

Claims 68-135. (Cancelled)

136. (Withdrawn-Currently Amended) A compound, which is an heparanase inhibitor of the general formula I, II, III or IV in claim 1, selected from the group of compounds herein designated **Compounds Nos. 12, 18, 27, 37, 48, 50, 61-63, 70, 71, 75, 77, 83-87, 90-96 and 98-107.**

137. (Previously Presented) The method according to claim 56, wherein said non-solid cancer is a hematopoietic malignancy selected from the group consisting of acute lymphocytic leukemia (ALL), acute myelogenous leukemia (AML), chronic lymphocytic leukemia (CLL), chronic myelogenous

leukemia (CML), myelodysplastic syndrome (MDS), mast cell leukemia, hairy cell leukemia, Hodgkin's disease, non-Hodgkin's lymphomas, Burkitt's lymphoma and multiple myeloma.

138. (Previously Presented) The method according to claim 57, wherein said solid tumor is a tumor in lip or oral cavity, pharynx, larynx, paranasal sinuses, major salivary glands, thyroid gland, esophagus, stomach, small intestine, colon, colorectum, anal canal, liver, gallbladder, extrahepatic bile ducts, ampulla of Vater, exocrine pancreas, lung, pleural mesothelioma, bone, soft tissue sarcoma, carcinoma and malignant melanoma of the skin, breast, vulva, vagina, cervix uteri, corpus uteri, ovary, fallopian tube, gestational trophoblastic tumors, penis, prostate, testis, kidney, renal pelvis, ureter, urinary bladder, urethra, carcinoma of the eyelid, carcinoma of the conjunctiva, malignant melanoma of the conjunctiva, malignant melanoma of the uvea, retinoblastoma, carcinoma of the lacrimal gland, sarcoma of the orbit, brain, spinal cord, vascular system, hemangiosarcoma or Kaposi's sarcoma.

139. (Previously Presented) The method according to claim 57, for treating or inhibiting tumor formation, primary tumors, tumor progression or tumor metastasis.

140. (Previously Presented) The method according to claim 60, wherein said cell proliferative disease or disorder is psoriasis, hypertrophic scars, acne or sclerosis/scleroderma.